

531 Rec'd PCT/ 22 JUN 2001

## IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant : Andrew Baxter et al.  
Serial No. :  
Filed : Herewith  
Title : NOVEL COMPOUNDS

Art Unit : Unknown  
Examiner : Unknown

## Box PCT

Commissioner for Patents  
Washington, D.C. 20231

PRELIMINARY AMENDMENT

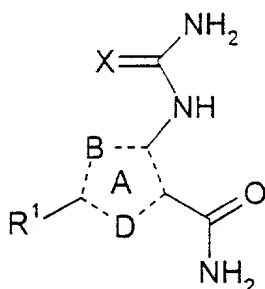
Prior to examination, please amend the application as follows:

In the claims:

Cancel claims 13-19 without prejudice.

Amend claims 3-6, 9, 10, 12, 20 and 21 as follows:

-- 3. (Amended) A compound of formula (I), according to Claim 1, in which the group A is substituted as shown below in formula (Ia), where B and D are selected from CR<sup>2</sup>, S, O and NR<sup>25</sup>, where R<sup>2</sup> is as defined in Claim 1 and R<sup>25</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl:



(Ia)

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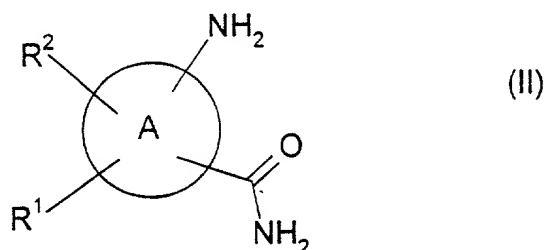
4. (Amended) A compound according to claim 1 in which the ring A is thiophene.

5. (Amended) A compound according to claim 1 in which R<sup>1</sup> represents optionally substituted phenyl.

6. (Amended) A compound according to claim 1 in which R<sup>2</sup> represents H or methyl.

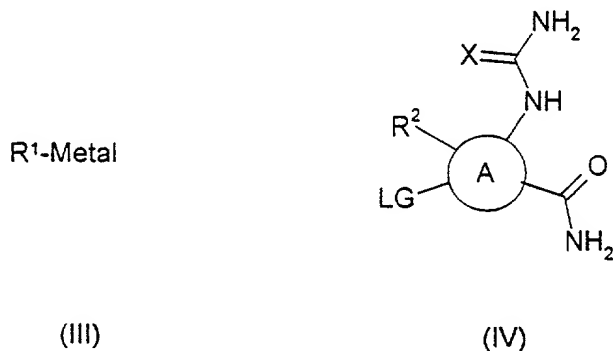
9. (Amended) A process for the preparation of a compound of formula (I), according to claim 1, which comprises:

(a) reaction of a compound of formula (II):



wherein A, R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1 with an isocyanate (X = O) or an isothiocyanate (X = S); or

(b) reaction of compound of formula (III) with a compound of formula (IV)

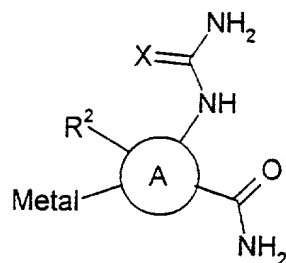


wherein A, X, R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1 and LG represents a leaving group; or

(c) reaction of compound of formula (V) with a compound of formula (VI)



(V)



(VI)

wherein A, X, R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1 and LG represents a leaving group;

and where necessary converting the resultant compound of formula (I), or another salt thereof, into a pharmaceutically acceptable salt thereof; or converting the resultant compound of formula (I) into a further compound of formula (I); and where desired converting the resultant compound of formula (I) into an optical isomer thereof.

10. (Amended) A pharmaceutical composition comprising a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in claim 1, in association with a pharmaceutically acceptable adjuvant, diluent or carrier.

12. (Amended) A compound of formula (I), or a pharmaceutically-acceptable salt or solvate thereof, as claimed in claim 1 for use in therapy.

20. (Amended) A method of treating an IKK2 mediated disease which comprises administering to a patient a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in claim 1.

21. (Amended) A method of treating an inflammatory disease in a patient suffering from, or at risk of, said disease, which comprises administering to the patient a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in claim 1.--

REMARKS

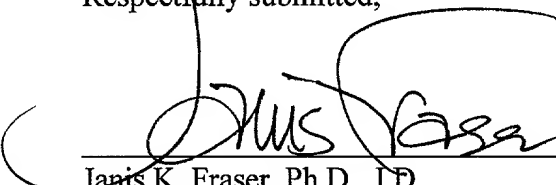
Claims 1 to 12 and 20-25 are pending in this application, claims 13-19 having been cancelled. Claims 3-6, 9, 10, 12-15, 20 and 21 are amended to delete multiple dependency. No new matter has been added.

Attached is a marked-up version of the changes being made by the current amendment.

Applicant asks that all pending claims be examined. Please apply any charges or credits to Deposit Account No. 06-1050.

Respectfully submitted,

Date: June 22, 2001

  
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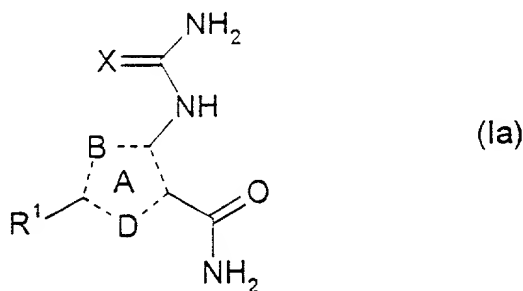
**Version with markings to show changes made**

In the claims:

Cancel claims 13-19 without prejudice.

Claims 3-6, 9, 10, 12, 20 and 21 have been amended as follows:

3. (Amended) A compound of formula (I), according to Claim 1 [or Claim 2], in which the group A is substituted as shown below in formula (Ia), where B and D are selected from CR<sup>2</sup>, S, O and NR<sup>25</sup>, where R<sup>2</sup> is as defined in Claim 1 and R<sup>25</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl:



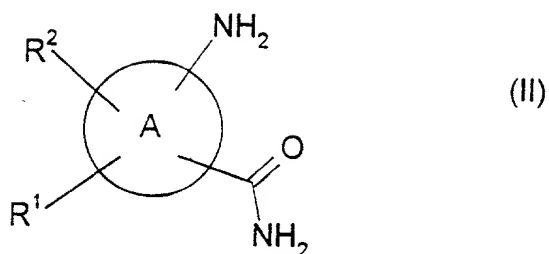
4. (Amended) A compound according to [any one of Claims 1 to 3] claim 1 in which the ring A is thiophene.

5. (Amended) A compound according to [any one of Claims 1 to 4] claim 1 in which R<sup>1</sup> represents optionally substituted phenyl.

6. (Amended) A compound according to [any one of Claims 1 to 5] claim 1 in which R<sup>2</sup> represents H or methyl.

9. (Amended) A process for the preparation of a compound of formula (I), according to [any one of Claims 1 to 8] claim 1, which comprises:

(a) reaction of a compound of formula (II):

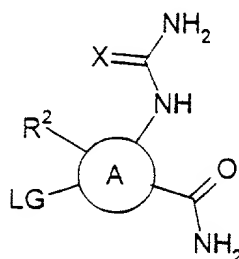


wherein A, R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1 with an isocyanate (X = O) or an isothiocyanate (X = S); or

(b) reaction of compound of formula (III) with a compound of formula (IV)

R<sup>1</sup>-Metal

(III)

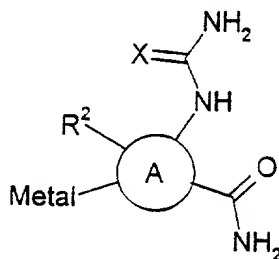


wherein A, X, R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1 and LG represents a leaving group; or

(c) reaction of compound of formula (V) with a compound of formula (VI)

R<sup>1</sup>-LG

(V)



wherein A, X, R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1 and LG represents a leaving group;  
and where necessary converting the resultant compound of formula (I), or another salt

thereof, into a pharmaceutically acceptable salt thereof; or converting the resultant compound of formula (I) into a further compound of formula (I); and where desired converting the resultant compound of formula (I) into an optical isomer thereof.

10. (Amended) A pharmaceutical composition comprising a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in [any one of claims 1 to 8] claim 1, in association with a pharmaceutically acceptable adjuvant, diluent or carrier.

12. (Amended) A compound of formula (I), or a pharmaceutically-acceptable salt or solvate thereof, as claimed in [any one of claims 1 to 8] claim 1 for use in therapy.

20. (Amended) A method of treating an IKK2 mediated disease which comprises administering to a patient a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in [any one of claims 1 to 8] claim 1.

21. (Amended) A method of treating an inflammatory disease in a patient suffering from, or at risk of, said disease, which comprises administering to the patient a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, as claimed in [any one of claims 1 to 8] claim 1.